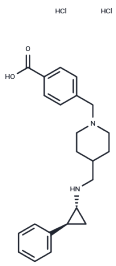
Data Sheet (Cat.No.T4418)

TargetMol

GSK2879552 2HCl (1401966-69-5(free base))

Chemical Properties



CAS No. : 1902123-72-1

Formula: C23H30Cl2N2O2

Molecular Weight: 437.4

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GSK2879552 is an orally available, irreversible inhibitor of lysine specific demethylase 1 (LSD1), with potential antineoplastic activity.
Targets(IC50)	Histone Demethylase
In vitro	GSK2879552 inhibits KDM1A histone demethylase activity, inducing differentiation of sorafenib-resistant cells and attenuates stemness properties. GSK2879552 derepresses the transcription of Wnt antagonists and downregulates β-catenin signaling activity in sorafenib-resistant cells[1]. GSK2879552 is 280-fold selective over D-amino acid oxidase, allowing for direct comparison of inactivation efficiency (KIapp=520 ± 170 μM, kinact=0. 12 ± 0.01 min?1, kinact/KIapp=2.3×10-4 ± 1.31×10-5 min-1 μM-1). GSK2879552 inhibits the growth of 9/28 small cell lung carcinoma (SCLC) lines and 20/29 AML lines, with EC50 of 2-240 nM
In vivo	GSK2879552 (1.5 mg/kg, p.o.) treatment exhibits tumor growth inhibition in SCLC xenograft bearing mice. There is 57% and 83% tumor growth inhibition (TGI) in NCI-H526 and NCI-H1417 tumor bearing mice respectively. NCI-H510 and NCI-H69 tumor bearing mice also demonstrate partial TGI (38% and 49% respectively) in response to GSK2879552, while no significant TGI is observed for SHP77 bearing mice
Cell Research	Viable cells are measured in Cell Counting Kit-8 (CCK8) assay. Briefly, cells are cultured in a 96-well plate overnight at a density of 5×103 cells per well and treated with the indicated concentrations of sorafenib (0 μM, 40 μM or 80 μM) for 24 h. Subsequently, the cells are incubated with 10 μL CCK8 for 60 min at 37°C, 5% CO2. The absorbance of optical density at 450 nm (A450) is determined with Varioskan Flash.

Solubility Information

Solubility	DMSO: 4.38 mg/mL (10.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2862 mL	11.4312 mL	22.8624 mL
5 mM	0.4572 mL	2.2862 mL	4.5725 mL
10 mM	0.2286 mL	1.1431 mL	2.2862 mL
50 mM	0.0457 mL	0.2286 mL	0.4572 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Huang M,etal.Targeting KDM1A attenuates Wnt/β-catenin signaling pathway to eliminate sorafenib-resistant stem-like cells in hepatocellular carcinoma.Cancer Lett. 2017 Jul 10;398:12-21.
Lv S, Zhao X, Zhang E, et al. Lysine demethylase KDM1A promotes cell growth via FKBP8-BCL2 axis in hepatocellular carcinoma. Journal of Biological Chemistry. 2022: 102374.
Mohammad HP,etal.A DNA Hypomethylation Signature Predicts Antitumor Activity of LSD1 Inhibitors in SCLC. Cancer Cell. 2015 Jul 13;28(1):57-69.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481